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     FILE 'REGISTRY' ENTERED AT 17:37:45 ON 26 JAN 2010
L1                STRUCTURE UPLOADED
L2              0 S L1
L3              0 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5              1 S L4
L6             70 S L5 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 17:41:13 ON 26 JAN 2010
L7            124 S L6
L8             52 S L6/THU
L9             23 S L8 AND (PY<2003 OR AY<2003 OR PRY<2003)
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=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.22       0.22

FILE 'REGISTRY' ENTERED AT 17:37:45 ON 26 JAN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   25 JAN 2010  HIGHEST RN 1203540-14-0
DICTIONARY FILE UPDATES:  25 JAN 2010  HIGHEST RN 1203540-14-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\STNEXP\Queries\10670915amended.str
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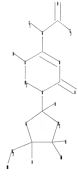

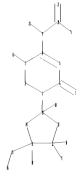

chain nodes :
7 8 9 15 16 17 18 19 20 22 23 24 25
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 3-8 4-9 6-7 9-22 9-24 10-20 12-17 12-18 13-15 13-19 15-16 23-24
24-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 3-4 4-5 4-9 5-6 6-7 9-22 9-24 10-11 10-14 11-12 12-13

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12-17  13-14  23-24  24-25
exact bonds :
3-8  10-20  12-18  13-15  13-19  15-16


G1:C,H

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:CLASS  16:CLASS  17:CLASS  18:CLASS
19:CLASS  20:CLASS
22:CLASS  23:CLASS  24:CLASS  25:CLASS



L1      STRUCTURE UPLOADED

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED -      156 TO ITERATE

100.0% PROCESSED      156 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2371 TO     3869
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss full
FULL SEARCH INITIATED 17:38:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3217 TO ITERATE

100.0% PROCESSED     3217 ITERATIONS                               0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> d his

     (FILE 'HOME' ENTERED AT 17:37:31 ON 26 JAN 2010)

     FILE 'REGISTRY' ENTERED AT 17:37:45 ON 26 JAN 2010
L1              STRUCTURE UPLOADED
L2            0 S L1
L3            0 S L1 SSS FULL

=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  191.54     191.76

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 17:38:33 ON 26 JAN 2010

Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:SSPTAEXO1623

PASSWORD:
  * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 17:40:40 ON 26 JAN 2010
FILE 'REGISTRY' ENTERED AT 17:40:40 ON 26 JAN 2010
COPYRIGHT (C) 2010 American Chemical Society (ACS)

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  191.54     191.76

=>
Uploading C:\Program Files\STNEXP\Queries\10670915broad.str
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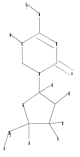
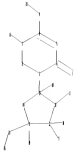
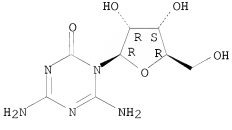




chain nodes :
7 8 9 15 16 17 18 19 20 22 23
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 3-8 4-9 6-7 9-22 10-20 11-23 12-17 12-18 13-15 13-19 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 3-4 4-5 4-9 5-6 6-7 9-22 10-11 10-14 11-12 12-13
12-17
13-14

```
exact bonds :
3-8  10-20  11-23  12-18  13-15  13-19  15-16


G1:C,H

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:CLASS  16:CLASS  17:CLASS  18:CLASS
19:CLASS  20:CLASS
22:CLASS  23:CLASS



L4      STRUCTURE UPLOADED

=> s l4
SAMPLE SEARCH INITIATED 17:40:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1926 TO ITERATE

100.0% PROCESSED     1926 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       35888 TO    41152
PROJECTED ANSWERS:              1 TO       80

L5            1 SEA SSS SAM L4

=> d l5 scan

L5   1 ANSWERS   REGISTRY  COPYRIGHT 2010 ACS on STN
IN   1,3,5-Triazin-2(1H)-one, 4,6-diamino-1-β-D-ribofuranosyl-
MF   C8 H13 N5 O5

Absolute stereochemistry.
```

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


ALL ANSWERS HAVE BEEN SCANNED


=> s l5 sss full
FULL SEARCH INITIATED 17:41:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    39765 TO ITERATE
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```
100.0% PROCESSED    39765 ITERATIONS                          70 ANSWERS
SEARCH TIME: 00.00.01

L6            70 SEA SSS FUL L4

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             383.08     383.30

FILE 'HCAPLUS' ENTERED AT 17:41:13 ON 26 JAN 2010
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FILE COVERS 1907 - 26 Jan 2010  VOL 152 ISS 5
FILE LAST UPDATED: 25 Jan 2010  (20100125/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Oct 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l6
L7          124 L6

=> s l6/thu
            124 L6
        1207596 THU/RL
L8           52 L6/THU
                (L6 (L) THU/RL)

=> s l8 and (PY<2003 or AY<2003 or PRY<2003)
       23002226 PY<2003
        4532815 AY<2003
        4003299 PRY<2003
L9           23 L8 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> d l9 1-23 ti abs bib hitstr

L9   ANSWER 1 OF 23  HCAPLUS  COPYRIGHT 2010 ACS on STN
TI   Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide
```
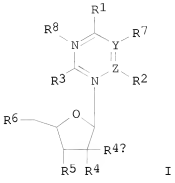

analogs, and preparation thereof

GI



I

AB The invention discloses a genus of nucleoside or nucleotide analogs I, wherein Y = C, CH, N; Z = C, CH, B; R1 = H, acyl, OR9, SR9, substituted sec-amine, NHNH2, O, :NR9; R9 is H, alkyl, acyl, heteroalkyl, aryl; R2 = absent, H, acyl, alkyl, halogen, O, substituted o, substituted N; R3 = H, acyl, alkyl, substituted sec-amine, substituted oxime, substituted S, O, substituted O; R4, R4a = H, halo, OMe, OH; R5, R6 = H, OR14 (R14 = H, (un)substituted alkyl); R7, R8 = absent, H, acyl, alkyl; R1R8 together with the atom to which they are attached form cycloalkyl, heterocycloalkyl; were prepared for use as antiviral agents. In another aspect, the nucleoside and nucleotide analogs I are used to treat a viral disease by administering a therapeutically effective amount of I to patient with a viral disease which is caused by an RNA virus, a DNA virus, a retrovirus, or HIV. Thus, 2'-deoxy-5,6-dihydro-5-azacytidine palmitate was prepared and was tested in vitro and in rats and dogs as antiviral agent.

AN 2007:993619 HCAPLUS <<LOGINID::20100126>>

DN 147:315014

TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri

PA Koronis Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 55pp., Cont.-in-part of U.S. Ser. No. 670,915.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070207973	A1	20070906	US 2006-616693	20061227 <--
	US 20040127436	A1	20040701	US 2003-670915	20030924 <--
	US 20070142310	A1	20070621	US 2007-671964	20070206 <--
	US 7642247	B2	20100105		
PRAI	US 2002-413337P	P	20020924	<--	
	US 2003-670915	A2	20030924		

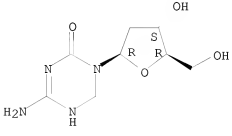
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:315014

IT 114522-16-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

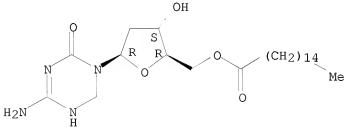
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



IT 676607-98-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 676607-98-0 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-(1-oxohexadecyl)-β-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

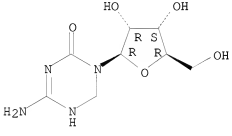
L9 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Novel dosage form comprising modified-release and immediate-release active ingredients
AB A dosage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified release active ingredient is from 1:10 to 1:15000 and the weight of modified release active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium pravastatin and 1000 mg niacin were prepared The release of sodium pravastatin after 24 h was 67.7%, and the release of niacin after 1 h was 84.1%.
AN 2006:100738 HCAPLUS <<LOGINID::20100126>>
DN 144:198849

TI Novel dosage form comprising modified-release and immediate-release active ingredients
IN Vaya, Navin; Karan, Rajesh Singh; Sadanand, Sunil; Gupta, Vinod Kumar
PA India
SO U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Ser. No. 630,446.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060024365	A1	20060202	US 2005-134633	20050519 <--
	IN 2002MU00697	A	20040529	IN 2002-MU697	20020805 <--
	IN 193042	A1	20040626		
	IN 2002MU00699	A	20040529	IN 2002-MU699	20020805 <--
	IN 2003MU00080	A	20050204	IN 2003-MU80	20030122
	IN 2003MU00082	A	20050204	IN 2003-MU82	20030122
	US 20040096499	A1	20040520	US 2003-630446	20030729 <--
PRAI	IN 2002-MU697	A	20020805	<--	
	IN 2002-MU699	A	20020805	<--	
	IN 2003-MU80	A	20030122		
	IN 2003-MU82	A	20030122		
	US 2003-630446	A2	20030729		

IT 62488-57-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel dosage form comprising modified-release and immediate-release active ingredients)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L9 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders
AB Methods and compns. of identifying candidate compds., for modulating fat metabolism and/or inhibiting Apobec-1 activity are provided. The invention relates to compds. and pharmaceutical compns. which are useful for regulating fat metabolism and can be used for treatment of diseases and disorders selected from the group consisting of overweight, obesity, atherosclerosis, hypertension, non-insulin dependent diabetes mellitus, pancreatitis, hypercholesteremia, hypertriglyceridemia, hyperlipidemia.
AN 2004:368857 HCAPLUS <<LOGINID::20100126>>
DN 140:386000
TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders

IN Gaudriault, Georges; Kilinc, Ahmet; Bousquet, Olivier; Goupil-Lamy, Anne; Harosh, Itzik
PA Obetherapy Biotechnology, Fr.
SO PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037159	A2	20040506	WO 2003-IL860	20031023 <--
	WO 2004037159	A3	20040715		
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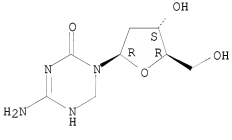
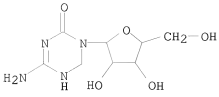
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	AU 2003274652	A1	20040513	AU 2003-274652	20031023 <--
PRAI	US 2002-420316P	P	20021023	<--	
	WO 2003-IL860	W	20031023		

OS MARPAT 140:386000
IT 114522-16-6 686299-49-0D, stereoisomers
686299-50-3D, stereoisomers 686299-63-8D, stereoisomers 686299-66-1D, stereoisomers
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds., compns. and methods for modulating fat metabolism for treatment of metabolic disorders)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

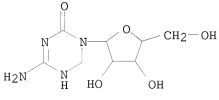


RN 686299-49-0 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-pentofuranosyl-, monohydrochloride (9CI) (CA INDEX NAME)

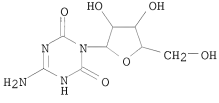


● HCl

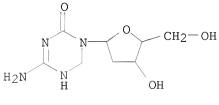
RN 686299-50-3 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-pentofuranosyl- (CA INDEX NAME)



RN 686299-63-8 HCAPLUS
CN 1,3,5-Triazine-2,4(1H,3H)-dione, 6-amino-3-pentofuranosyl- (CA INDEX NAME)



RN 686299-66-1 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxypentofuranosyl)-3,6-dihydro-(9CI) (CA INDEX NAME)

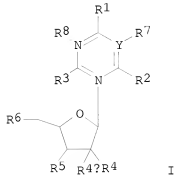


OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L9 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof

GI



I

AB The invention discloses a genus of nucleoside or nucleotide analogs I [Y=C, CH, N; Z=C,CH,B; R1=H, acyl, NHNH2, etc; R2=absent, H, acyl, etc; R3=H, acyl, (un)substituted alkyl, etc.; R4, R4a=H, halo, OMe, OH; R5, R6=H, OR14 (R14= H, (un)substituted alkyl, etc.;) R7,R8=absent, H, acyl, etc.] for use as antiviral agents. In a first aspect, there is provided a compound according to Formula I as shown. In another aspect, the nucleoside and nucleotide analogs according to Formula I are used to treat a viral disease by administrating a therapeutically effective amount of a compound of Formula I to patient with a viral disease which is caused by an RNA virus, a DNA virus, a retrovirus, or HIV. Preparation of selected analogs is described.

AN 2004:290464 HCAPLUS <<LOGINID::20100126>>

DN 140:297477

TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri

PA Koronis Pharmaceuticals, Incorporated, USA

SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DT Patent

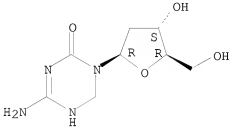
LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004028454	A2	20040408	WO 2003-US30200	20030924 <--
WO 2004028454	A3	20041118		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499036	A1	20040408	CA 2003-2499036	20030924 <--

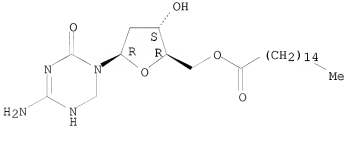
AU 2003278904 A1 20040419 AU 2003-278904 20030924 <--
EP 1545558 A2 20050629 EP 2003-770420 20030924 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006507255 T 20060302 JP 2004-539890 20030924 <--
PRAI US 2002-413337P P 20020924 <--
WO 2003-US30200 W 20030924
OS MARPAT 140:297477
IT 114522-16-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



IT 676607-98-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 676607-98-0 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-(1-oxohexadecyl)-β-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Mutant p53-dependent growth suppression distinguishes PRIMA-1 from known anticancer drugs: A statistical analysis of information in the National Cancer Institute database

AB We recently identified PRIMA-1 as a low mol. weight compound that restores tumor suppressor function to mutant p53 proteins and has anti-tumor activity in vivo (1). Here we report the statistical anal. of the effect of PRIMA-1 on a panel of human tumor cell lines using information available in a database at the Developmental Therapeutics Program of the National Cancer Institute (NCI). We extracted growth inhibition profiles for PRIMA-1 and 44 known anticancer agents, p53 status of cell lines, population doubling time, and level of p53 protein expression from the NCI database. The data were analyzed by linear regression, Wilcoxon matched pairs test, and cluster anal. In a subset of human cell lines derived from colon, ovarian, renal, and non-small cell lung cancer and melanoma, the level of mutant p53 expression correlated with cell population doubling time, r = -0.53, P = 0.018. The GI50 values for PRIMA-1 correlated with levels of mutant p53, r = -0.75, P = 0.0002. PRIMA-1 showed a statistically significant preference at P = 0.04 for growth inhibition of tumor cell lines expressing mutant p53 as compared with lines expressing wild-type p53. In contrast, none of several known anticancer drugs showed such preference. PRIMA-1 inhibited the growth of cell lines derived from various human tumor types in a mutant p53-dependent manner. This distinguishes PRIMA-1 from known anticancer drugs and supports the idea that PRIMA-1 can serve as a lead for the development of novel therapeutic compds.

AN 2003:109003 HCAPLUS <<LOGINID::20100126>>
DN 139:46601

TI Mutant p53-dependent growth suppression distinguishes PRIMA-1 from known anticancer drugs: A statistical analysis of information in the National Cancer Institute database

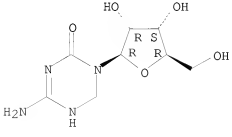
AU Bykov, Vladimir J. N.; Issaeva, Natalia; Selivanova, Galina; Wiman, Klas G.
CS Karolinska Institutet, Department of Oncology-Pathology, Cancer Center Karolinska (CCK), Stockholm, SE-171 76, Swed.

SO Carcinogenesis (2002), 23(12), 2011-2018
CODEN: CRNGDP; ISSN: 0143-3334
PB Oxford University Press
DT Journal
LA English

IT 62488-57-7, 5,6-Dihydro-5-azacytidine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mutant p53-dependent growth suppression distinguishes PRIMA-1 from known anticancer drugs)

RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



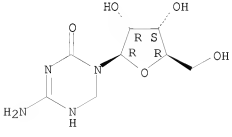
OSC.G 58 THERE ARE 58 CAPLUS RECORDS THAT CITE THIS RECORD (58 CITINGS)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Combination therapy for reduction of toxicity of chemotherapeutic agents
AB Provided in the present invention are compds. suitable for treating neoplasms and tumors, viral, bacterial and parasite infections and combination therapy with these agents to lower the adverse side effects.
AN 2002:695764 HCAPLUS <<LOGINID::20100126>>
DN 137:210932
TI Combination therapy for reduction of toxicity of chemotherapeutic agents
IN Prendergast, Patrick T.
PA Ire.
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002069949	A2	20020912	WO 2002-IB632	20020305 <--
	WO 2002069949	A3	20030605		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002238799	A1	20020919	AU 2002-238799	20020305 <--
	US 20020169140	A1	20021114	US 2002-91855	20020306 <--
	US 20080139496	A1	20080612	US 2008-34289	20080220 <--
PRAI	IE 2001-209	A	20010306	<--	
	WO 2002-IB632	W	20020305	<--	
	US 2002-91855	B1	20020306	<--	

IT 62488-57-7, 5,6-Dihydro-5-azacytidine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for reduction of toxicity of chemotherapeutic agents)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



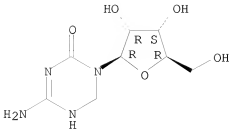
OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Incensole and furanogermacrens and compounds in treatment for inhibiting neoplastic lesions and microorganisms
AB The invention discloses the use of incensole and/or furanogermacrens, derivs. metabolites and precursors thereof in the treatment of neoplasia, particularly resistant neoplasia and immunodysregulatory disorders. These compds. can be administered alone or in combination with conventional chemotherapeutic, antiviral, antiparasite agents, radiation and/or surgery. Incensole and furanogermacren and their mixture showed antitumor activity against various human carcinomas and melanomas and antimicrobial activity against Staphylococcus aureus and Enterococcus faecalis.
AN 2002:521462 HCAPLUS <<LOGINID::20100126>>
DN 137:88442
TI Incensole and furanogermacrens and compounds in treatment for inhibiting neoplastic lesions and microorganisms
IN Shanahan-Pendergast, Elisabeth
PA Ire.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053138	A2	20020711	WO 2002-IE1	20020102 <--
	WO 2002053138	A3	20020919		
	W: AE, AG, AT, AU, BB, BG, CA, CH, CN, CO, CU, CZ, LU, LV, MA, MD, UA, UG, US, VN, YU, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI, ML, MR, NE, SN, TD, TG				
	AU 2002219472	A1	20020716	AU 2002-219472	20020102 <--
	EP 1351678	A2	20031015	EP 2002-727007	20020102 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 20040092583	A1	20040513	US 2004-250535	20040102 <--
PRAI	IE 2001-2	A	20010102	<--	
	WO 2002-IE1	W	20020102	<--	

OS MARPAT 137:88442
IT 62488-57-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

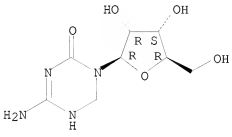
L9 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI DNA repair protein levels vis-a-vis anticancer drug resistance in the human tumor cell lines of the National Cancer Institute drug screening program
AB Nucleotide excision repair (NER) is a multi-enzyme DNA repair pathway in eukaryotes. Several NER genes in this pathway including XPB, XPD, XPA and ERCC-1 have been implicated in anticancer drug resistance in human tumor cells. In this study, the authors assessed the levels of the above-mentioned proteins in the NCI panel of 60 human tumor cell lines in relation to the cytotoxicity patterns of 170 compds. that constitute the standard agent (SA) database. The database consists of drugs used in the clinic for which a mechanism of action has been at least partially defined. The ERCC-1, XPD and XPB protein expression patterns yielded significant neg. Pearson correlations with 13, 32 and 17 out of the 170 compds., resp. (using). XPA produced a random assortment of neg. and pos. correlations, and did not appear to confer an overall resistance or sensitivity to these drugs. Protein expression was also compared with a pre-defined categorization of the standard agents into six mechanism-of-action groups resulting in an inverse association between XPD and alkylating agent sensitivity. The authors present data demonstrate that XPD protein levels correlate with resistance to alkylating agents in human tumor cell lines suggesting that XPD is implicated in the development of this resistance. NER activity, using the in vitro cell-free system repair assay, revealed no correlation between NER activity and the level of XPD protein in four cell lines with widely varying XPD protein levels. This lack of correlation may be due to the contribution of XPD to other functions including interactions with the Rad51 repair pathway.
AN 2002:469230 HCAPLUS <<LOGINID::20100126>>
DN 138:32948
TI DNA repair protein levels vis-a-vis anticancer drug resistance in the human tumor cell lines of the National Cancer Institute drug screening program
AU Xu, Zhiyuan; Chen, Zhong-Ping; Malapetsa, Areti; Alaoui-Jamali, Moulay; Bergeron, Josee; Monks, Anne; Myers, Timothy G.; Mohr, Gerard; Sausville, Edward A.; Scudiero, Dominic A.; Aloyz, Raquel; Panasci, Lawrence C.
CS Lady Davis Institute for Medical Research, Sir Mortimer B Davis-Jewish General Hospital, Montreal, QC, H3T 1E2, Can.
SO Anti-Cancer Drugs (2002), 13(5), 511-519
CODEN: ANTDEV; ISSN: 0959-4973
PB Lippincott Williams & Wilkins
DT Journal
LA English
IT 62488-57-7, 5,6-Dihydro-5-azacytidine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(DNA repair protein levels vis-a-vis anticancer drug resistance in human tumor cell lines of National Cancer Institute drug screening program)

RN 62488-57-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Identification of active antiviral compounds against a New York isolate of West Nile virus

AB The recent West Nile virus (WNV) outbreak in the United States has increased the need to identify effective therapies for this disease. A chemotherapeutic approach may be a reasonable strategy because the virus infection is typically not chronic and antiviral drugs have been identified to be effective in vitro against other flaviviruses. A panel of 34 substances was tested against infection of a recent New York isolate of WNV in Vero cells and active compds. were also evaluated in MA-104 cells. Some of these compds. were also evaluated in Vero cells against the 1937 Uganda isolate of the WNV. Six compds. were identified to be effective against virus-induced CPE with 50% effective concns. (EC50) less than 10 μg/mL and with a selectivity index (SI) of greater than 10. Known inhibitors of orotidine monophosphate decarboxylase and inosine monophosphate dehydrogenase involved in the synthesis of GTP, UTP, and TTP were most effective. The compds. 6-azauridine, 6-azauridine triacetate, cyclopentenylcytosine (CPE-C), mycophenolic acid and pyrazofurin appeared to have the greatest activities against the New York isolate, followed by 2-thio-6-azauridine. Anti-WNV activity of 6-azauridine was confirmed by virus yield reduction assay when the assay was performed 2 days after initial infection in Vero cells. The neutral red assay mean EC50 of ribavirin was only 106 μg/mL with a mean SI of 9.4 against the New York isolate and only slightly more effective against the Uganda isolate. There were some differences in the drug sensitivities of the New York and Uganda isolates, but when comparisons were made by categorizing drugs according to their modes of action, similarities of activities between the two isolates were identified.

AN 2002:458415 HCAPLUS <<LOGINID::20100126>>

DN 138:100377

TI Identification of active antiviral compounds against a New York isolate of West Nile virus

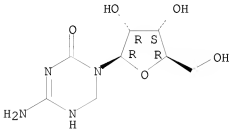
AU Morrey, John D.; Smee, Donald F.; Sidwell, Robert W.; Tseng, Christopher

CS Department of Animal, Dairy, and Veterinary Sciences, Institute for Antiviral Research, Utah State University, Logan, UT, 84322-4700, USA

SO Antiviral Research (2002), 55(1), 107-116

CODEN: ARSRDR; ISSN: 0166-3542
PB Elsevier Science B.V.
DT Journal
LA English
IT 62488-57-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification of active antiviral compds. against a New York isolate of West Nile virus)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 62 THERE ARE 62 CAPLUS RECORDS THAT CITE THIS RECORD (62 CITINGS)
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

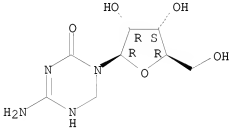
L9 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI In vivo agents comprising antitumor cationic drugs, peptides, and metal chelators with acidic saccharides and glycosaminoglycans, giving improved site-selective localization, uptake mechanism, sensitivity and kinetic-spatial profiles
AB A drug carrier composition comprising a drug complexed with dermatan sulfate is disclosed. The drug is preferably an antitumor drug and may be taxol, a peptide oncoagent or vincristine. The most preferred antitumor drug is doxorubicin. The dermatan sulfate is essentially purified dermatan sulfate with a sulfur content of up to 9% (weight/weight) and with selective oligosaccharide oversulfation. The compns. are administered in a fashion that allows efficient vascular access and induces the following in vivo effects: 1) rapid, partial or total endothelial envelopment of the drug (diagnostic) carrier; 2) sequestration of the carrier and protection of the entrapped agent from blood vascular clearance at an early time (2 min) when the endothelial pocket which envelops the carrier still invaginates into the vascular compartment; 3) acceleration of the carrier's transport across and/or through the vascular endothelium or subendothelial structures into the tissue compartment (interstitium); and 4) improvement of the efficiency with which the drug migrates across the endothelium, or epi-endothelial or subendothelial barriers, such that a lower total drug dose is required to obtain the desired effect relative to that required for standard agents. Analogous tissue uptake is described for transepithelial migration into the lungs, bladder and bowel.
AN 2000:589895 HCAPLUS <<LOGINID::20100126>>
DN 133:198574
TI In vivo agents comprising antitumor cationic drugs, peptides, and metal chelators with acidic saccharides and glycosaminoglycans, giving improved site-selective localization, uptake mechanism, sensitivity and kinetic-spatial profiles

IN Ranney, David F.
PA Access Pharmaceuticals, Inc., USA
SO U.S., 109 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6106866	A	20000822	US 1995-509338	19950731 <--
PRAI US 1995-509338		19950731 <--		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
IT 62488-57-7
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(antitumor cationic drugs, peptides, and metal chelators with acidic saccharides and glycosaminoglycans, having site-selective localization and uptake mechanism)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 122 THERE ARE 122 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

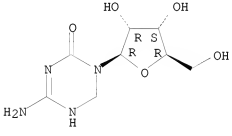
L9 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Pharmaceutical compositions for treatment of diseased tissues
AB A method to treat diseased tissue is provided where a cytotoxic compound is administered to a patient in need of treatment in combination with an immunostimulant. Diseased cells and/or infectious microbes/viruses are killed by the cytotoxic compound in the presence of the immunostimulant. The cell components including cellular contents and cell membrane fragments are presented by the immunostimulant to the host animal as antigens to stimulate the immune responses toward other diseased cells of the same type(s), that either remain in the vicinity or reside in distant tissues or organs. The cytotoxic mol. and immunostimulant are preferably applied locally at high concns., either sequentially or, preferably, simultaneously. For example, the composition can be administered directly to a target cancer. The composition can be prepared in various forms, such as a paste, a time release molded solid shape, a solution, a mixture with emulsifier, etc. Alternatively, the cytotoxic mol. and immunostimulant are applied in sequence.
AN 2000:475560 HCAPLUS <<LOGINID::20100126>>
DN 133:109949

TI Pharmaceutical compositions for treatment of diseased tissues
IN Lee, Clarence C.; Lee, Feng-Min
PA USA
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000040269	A2	20000713	WO 2000-US191	20000105 <--
WO 2000040269	A3	20001130		

W: AU, CA, CN, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRAI US 1999-114906P P 19990105 <--
IT 62488-57-7, DHAC
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(DHAC; pharmaceutical compns. for treatment of diseased tissues)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Modulation of gene expression by combination therapy with antisense oligonucleotide and gene product protein effector
AB The invention relates to the modulation of gene expression. In particular, the invention relates to compns. comprising antisense oligonucleotides which inhibit expression of a gene in operable association with protein effectors of a product of that gene, and methods of using the same. In addition, the invention relates to the modulation of mammalian gene expression regulated by methylation.
AN 2000:277883 HCAPLUS <<LOGINID::20100126>>
DN 132:318052
TI Modulation of gene expression by combination therapy with antisense oligonucleotide and gene product protein effector
IN Besterman, Jeffrey M.; Macleod, Alan Robert; Siders, William M.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000023112	A1	20000427	WO 1999-US24278	19991019	<--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW					
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	CA 2347003	A1	20000427	CA 1999-2347003	19991019	<--
	AU 9965194	A	20000508	AU 1999-65194	19991019	<--
	AU 766084	B2	20031009			
	EP 1123111	A1	20010816	EP 1999-953211	19991019	<--
	EP 1123111	B1	20040915			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
	JP 2002528391	T	20020903	JP 2000-576885	19991019	<--
	EP 1243289	A2	20020925	EP 2002-14370	19991019	<--
	EP 1243289	A3	20040317			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
	EP 1243290	A2	20020925	EP 2002-14371	19991019	<--
	EP 1243290	A3	20040317			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
	AT 275956	T	20041015	AT 1999-953211	19991019	<--
	ES 2228119	T3	20050401	ES 1999-953211	19991019	<--
	US 6953783	B1	20051011	US 1999-420692	19991019	<--
	US 20030096777	A1	20030522	US 2002-145493	20020514	<--
	AU 2004200032	A1	20040129	AU 2004-200032	20040106	<--
	AU 2004200032	B2	20050505			
PRAI	US 1998-104804P	P	19981019	<--		
	AU 1999-65194	A3	19991019	<--		
	EP 1999-953211	A3	19991019	<--		
	US 1999-420692	A3	19991019	<--		
	WO 1999-US24278	W	19991019	<--		

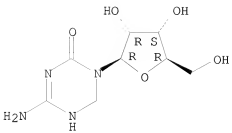
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

IT 62488-57-7, 5,6-Dihydro-5-azacytidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antisense oligonucleotide and gene product protein effector for gene expression modulation)

RN 62488-57-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

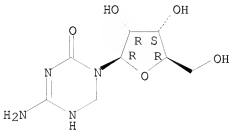
L9 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Use of neoangiogenesis markers for diagnosis and treatment of tumors
AB Neoangiogenesis markers (i.e. antibodies or receptors for e.g. vascular endothelial growth factor, placenta growth factor, acidic or basic FGF, transforming growth factor α or β, hepatocyte growth factor, insulin-like growth factor I, glycoprotein B61, protein LERK-1, flk-1 receptor, etc.) or partial sequences thereof and antiangiogenic compds. and factors such as paclitaxel, endostatin, fibronectin peptide, and fumagillin are conjugated with active agents such as chemotherapeutic agents, radiosensitizers, photosensitizers, antibodies, oligonucleotides, radioactive metal complexes, etc., which may be bound to carriers, for treatment of tumors. Likewise, neoangiogenesis markers may be conjugated to diagnostic agents such as MRI, radiog., ultrasound, or near-IR contrast agents for tumor diagnosis. Thus, N',N',N''',N'''-tetrakis(tert-butoxycarboxymethyl)-N''-(hydroxycarboxymethyl)diethylenetriamine was converted to its N-hydroxysuccinimide ester, coupled to a Thy-1 antibody, complexed with 186Re, and injected i.v. into rabbits for detection of implanted VX2 tumors by scintigraphy with a gamma camera.
AN 2000:227537 HCAPLUS <<LOGINID::20100126>>
DN 132:262172
TI Use of neoangiogenesis markers for diagnosis and treatment of tumors
IN Krause, Werner; Muschick, Peter
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000018439	A2	20000406	WO 1999-EP7198	19990929 <--
WO 2000018439	A3	20000914		
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, ES, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19845798	A1	20000413	DE 1998-19845798	19980929 <--
PRAI DE 1998-19845798	A	19980929 <--		

IT 62488-57-7D, conjugates with angiogenesis markers
RL: ARG (Analytical reagent use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU

(Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(use of neoangiogenesis markers for diagnosis and treatment of tumors)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

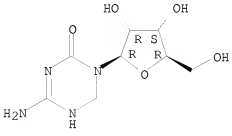
L9 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI 5,6-dihydro-5'-azacytidine (DHAC) affects estrogen sensitivity in estrogen-refractory human breast carcinoma cell lines
AB There is little effective therapy for patients with hormone-refractory breast cancer. Hormone resistance is frequently due to the transcriptional inactivation of the estrogen receptor (ER) gene. We determined the effect of DHAC, a cytosine DNA methyltransferase (CMT) inhibitor, on the estrogen sensitivity in three human breast carcinoma cell lines with intermediate to low levels of estrogen receptor (ER) expression: MCF7 (adriamycin-sensitive), MCF7M/Adr (adriamycin-resistant), and MDA-435, and one ER+ cell line, ZR75-1. Cells maintained in culture were exposed to DHAC or vehicle continuously for 14 days, then exposed to estradiol or tamoxifen and counted on day 21. Exposure to DHAC did not affect estrogen sensitivity in ZR-75-1 and MCF7M/Adr cells. DHAC treatment of MCF7 and MDA-435 cells resulted in significant ($p<0.05$) growth stimulation in response to estrogen at 10-6 M, and to growth modulation by tamoxifen at 10-5 to 10-7 M. These data suggest that DHAC can restore the estrogen sensitivity in ER-breast cancer. Thus, DHAC and other novel CMT inhibitors may have a clin. application in treating estrogen-refractory breast cancer patients by restoring the estrogen sensitivity and allowing these patients to respond again to conventional therapy with estrogen antagonists.
AN 1999:396073 HCAPLUS <<LOGINID::20100126>>
DN 131:208754
TI 5,6-dihydro-5'-azacytidine (DHAC) affects estrogen sensitivity in estrogen-refractory human breast carcinoma cell lines
AU Izbicka, Elzbieta; Davidson, Karen K.; Lawrence, Richard A.; Macdonald, John R.; Von Hoff, Daniel D.
CS Cancer Therapy and Research Center, The Nordan Colon Cancer Laboratory, Institute for Drug Development, San Antonio, TX, 78229, USA
SO Anticancer Research (1999), 19(2A), 1293-1298
CODEN: ANTRD4; ISSN: 0250-7005
PB International Institute of Anticancer Research
DT Journal
LA English
IT 62488-57-7, 5,6-Dihydro-5-azacytidine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(DHAC affects estrogen sensitivity in estrogen-refractory human breast carcinoma cell lines)

RN 62488-57-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN

TI 5,6 dihydro-5'-azacytidine (DHAC) restores androgen responsiveness in androgen-insensitive prostate cancer cells

AB The androgen resistance of some prostate cancer patients may be due to transcriptional inactivation of the androgen receptor (AR) gene catalyzed by cytosine DNA methyltransferase. To determine if an inhibitor of cytosine DNA methyltransferase, 5,6-dihydro-5'-azacytidine (DHAC), can restore the androgen sensitivity in androgen-insensitive human prostate carcinoma cell lines in vitro, we cultured androgen-insensitive (PC3, DU-145, and TSUPr1) and androgen-responsive (LNCaP) cells with subcytotoxic concns. (≤IC50) of DHAC for 14 days followed by exposure to dihydrotestosterone (DHT) or to hydroxyflutamide for 7 days. Only DHAC-treated DU-145 cells showed growth stimulation by 10-11 to 10-9 M DHT and a partial inhibition by 10-5 and 10-6 M hydroxyflutamide. However, since DU-145 is the only cell line tested that is known to have a hypermethylated AR promoter, the observed effects may be due to a partial demethylation of the AR by DHAC. Our data provide an evidence that cytosine DNA methyltransferase inhibitors can restore androgen responsiveness in androgen-refractory tumor cells, which are then sensitive to growth inhibition by antiandrogens.

AN 1999:396072 HCAPLUS <<LOGINID::20100126>>

DN 131:223166

TI 5,6 dihydro-5'-azacytidine (DHAC) restores androgen responsiveness in androgen-insensitive prostate cancer cells

AU Izbicka, Elzbieta; Macdonald, John R.; Davidson, Karen; Lawrence, Richard A.; Gomez, Lionel; Von Hoff, Daniel D.

CS Cancer Therapy and Research Center, Institute for Drug Development, San Antonio, TX, 78229, USA

SO Anticancer Research (1999), 19(2A), 1285-1291
CODEN: ANTRD4; ISSN: 0250-7005

PB International Institute of Anticancer Research

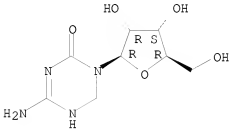
DT Journal

LA English

IT 62488-57-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(DHAC restores androgen responsiveness in androgen-insensitive prostate cancer cells)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

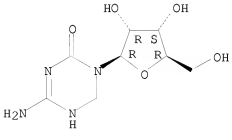


OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Dihydro-5-azacytidine and cisplatin in the treatment of malignant mesothelioma a phase II study by the cancer and leukemia group B
AB In a prior Cancer and Leukemia Group B (CALGB) Phase II trial of patients with advanced, previously untreated mesothelioma, dihydro-5-azacytidine (DHAC) demonstrated a 17% response rate, including 1 complete response, with only mild myelosuppression. This Phase II study (CALGB 9031) was conducted to determine the effectiveness of and toxicities that would result from adding cisplatin to DHAC administered to the same patient population. Thirty-six patients were treated with concurrent DHAC at 1500 mg/m2/day for 5 days by continuous infusion and cisplatin 15 mg/m2 daily for 5 days. Therapy was repeated every 3 wk. Cisplatin was to be increased to 20 mg/m2 daily in subsequent cycles if toxicity was minimal. Therapy was continued until disease progression or excessive toxicity mandated discontinuation. Overall, 5 objective responses were observed in 29 evaluated patients (objective response rate, 17%). The median duration of response was 6.6 mo. Median survival was 6.4 mo, with a median time to clin. failure of 2.7 mo. The major toxicity noted was significant chest/pericardial pain, as was observed with DHAC alone. There were 2 early deaths of unknown cause on Days 9 and 17 of therapy, resp. Significant leukopenia was observed in 29% of patients, but there were no neutropenic fevers. The addition of cisplatin to DHAC did not increase the response rate over that observed with DHAC alone in patients with mesothelioma; however, it did increase toxicity, especially leukopenia. This combination is not recommended for further studies involving mesothelioma patients.
AN 1998:292263 HCAPLUS <<LOGINID::20100126>>
DN 129:23072
OREF 129:4771a,4774a
TI Dihydro-5-azacytidine and cisplatin in the treatment of malignant mesothelioma a phase II study by the cancer and leukemia group B
AU Samuels, Brian L.; Herndon, James E., II; Harmon, David C.; Carey, Robert; Aisner, Joseph; Corson, Joseph M.; Suzuki, Yasunosuke; Green, Mark R.; Vogelzang, Nicholas J.
CS Lutheran General Hospital, Park Ridge, IL, USA

SO Cancer (New York) (1998), 82(8), 1578-1584
CODEN: CANCAR; ISSN: 0008-543X
PB John Wiley & Sons, Inc.
DT Journal
LA English
IT 62488-57-7
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dihydro-5-azacytidine/cisplatin treatment of malignant mesothelioma in humans)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl-
(CA INDEX NAME)

Absolute stereochemistry.



OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Use of 5,6-dihydro-5-azacytidine in the treatment of prostate cancer
AB A method for treating prostate cancer comprises administering an effective amount of 5,6-dihydro-5-azacytidine, or a pharmaceutically acceptable salt thereof, either alone or in combination with hormonal therapy. The invention includes a method for increasing expression of the androgen receptor in a prostate cancer cell, a method of increasing E-cadherin expression in a prostate cancer cell, and a method of inducing apoptosis in a prostate cell.
AN 1998:87620 HCAPLUS <<LOGINID::20100126>>
DN 128:123806
OREF 128:24131a,24134a
TI Use of 5,6-dihydro-5-azacytidine in the treatment of prostate cancer
IN Von Hoff, Daniel D.; Izbicka, Elzbieta
PA Ilex Oncology, Inc., USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9803183	A1	19980129	WO 1997-US13102	19970722 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9740461 A 19980210 AU 1997-40461 19970722 <--

PRAI US 1996-22042P P 19960722 <--

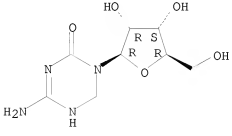
WO 1997-US13102 W 19970722 <--

IT 62488-57-7, 5,6-Dihydro-5-azacytidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dihydroazacytidine, alone or in combination, for prostate cancer treatment)

RN 62488-57-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Dihydro-5-azacytidine in malignant mesothelioma: a phase II trial demonstrating activity accompanied by cardiac toxicity

AB Malignant mesothelioma is a disease that is refractory to chemo-therapy. Therefore, the objective of this multi-institutional, cooperative group Phase II trial was to determine the efficacy of dihydro-5-azacytidine (DHAC), a pyrimidine analog, in the treatment of malignant mesothelioma. Forty-one patients with histol. confirmed malignant mesothelioma received 120-h continuous infusions of DHAC (1500 mg/M2/day every 21 days) until maximal response, intolerable toxicity, or disease progression. One patient had a complete response, two had objective partial responses, and four had regression of evaluable disease. The overall response rate was 17%. The one complete responder remains without disease progression at 6 yr. Chest pain and nausea were the most common toxicities. Supraventricular tachycardia and pericardial effusion occurred in 20% and 15% of patients, resp. In most patients, gastrointestinal effects were manageable. There was no significant hematol. toxicity. In malignant mesothelioma, a disease that is refractory to chemo-therapy, dihydro-5-azacytidine has definite antitumor activity. Its modest hematol. toxicity profile favors its use in combination with other agents. Caution regarding cardiac arrhythmias and pericardial effusion is necessary.

AN 1997:368731 HCAPLUS <<LOGINID::20100126>>

DN 127:60299

OREF 127:11349a,11352a

TI Dihydro-5-azacytidine in malignant mesothelioma: a phase II trial demonstrating activity accompanied by cardiac toxicity

AU Vogelzang, Nicholas J.; Herndon, James E.; Cirrincione, Constance; Harmon,

David C.; Antman, Karen H.; Corson, Joseph M.; Suzuki, Yasunosuke; Citron, Marc L.; Green, Mark R.

CS Section of Hematology/Oncology, University of Chicago Medical Center, Chicago, IL, 60637-1470, USA

SO Cancer (New York) (1997), 79(11), 2237-2242
CODEN: CANCAR; ISSN: 0008-543X

PB Wiley

DT Journal

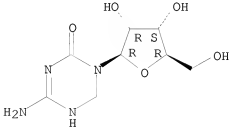
LA English

IT 62488-57-7
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dihydro-5-azacytidine in malignant mesothelioma dealing with a phase II trial demonstrating activity accompanied by cardiac toxicity in humans)

RN 62488-57-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Complexes of dermatan sulfate and drugs with improved pharmacokinetics

AB A drug carrier composition comprising a drug complexed with dermatan sulfate (I), with a sulfur content of up to 9 %, is disclosed. The compns. are administered in a fashion that allows efficient vascular access and induced the following in vivo effects (1) rapid partial or total endothelial envelopment of the drug (diagnostic) carrier: (2) sequestration of the carrier and protection of the entrapped agent or blood vascular clearance at an early time (2 min) when the endothelial pocket which envelops the carrier still invaginates into the vascular compartment; (3) acceleration of the carrier's transport across and/or through the vascular endothelium or subendothelial structures into the tissue compartment (intestitium); and (4) improvement of the efficiency with which the drug migrates across the endothelium of epi-endothelial or subendothelial barriers, such that a lower total drug dose is required to obtain the desired effect relative to that required for standard agents. Analogous tissue uptake is described for transepithelial migration into the lungs, bladder and bowel. A solution of 10 mg I/mL was stirred with a solution of 4 mg doxorubicin (II)/mL and homogenized to obtain I:II complex. The solution was filtered , followed by addition of 3 mL of 500 mg/mL saccharose
and 1.5 mL of 10 mg/mL PEG, the resulting solution was then filtered and lyophilized. The MIC50 of the complex against II-resistant human breast

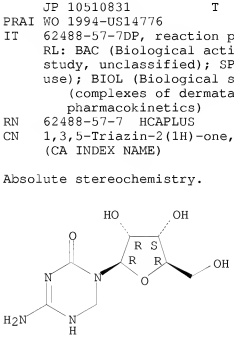
carcinoma cell was 0.81-0.89 as compared to 22.28 μM for II alone.

AN 1996:529503 HCAPLUS <<LOGINID::20100126>>
DN 125:177401
OREF 125:33047a,33050a
TI Complexes of dermatan sulfate and drugs with improved pharmacokinetics
IN Ranney, David F.
PA Access Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9619242	A1	19960627	WO 1994-US14776	19941222 <--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2208566	A1	19960627	CA 1994-2208566	19941222 <--
	AU 9515537	A	19960710	AU 1995-15537	19941222 <--
	AU 709008	B2	19990819		
	EP 794796	A1	19970917	EP 1995-907242	19941222 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 10510831	T	19981020	JP 1994-519745	19941222 <--
PRAI	WO 1994-US14776		19941222 <--		

IT 62488-57-7DP, reaction products with glycosaminoglycans
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



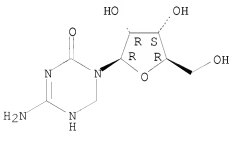
OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Micronuclei induced by modulators of methylation: analogs of 5-azacytidine
AB Jones and coworkers demonstrated a qual. correlation between 5-azacytidine and some of its analogs in inducing changes in cell morphol. and their ability in preventing DNA methylation. Previously, we evaluated the same

compds. to determine their ability to induce trifluorothymidine (TFT) resistance in L5178Y mouse cells and found that their mutagenic potency also correlated with their reported ability to induce morphol. changes in C3H10T1/2 cells. Here, we examined four of the same analogs, 5-fluoro-2'-deoxycytidine, 5-azacytidine, 5,6-dihydro-5-azacytidine and 6-azacytidine, to find out if micronuclei induced by these compds. correlated with these effects. The most cytotoxic analog was 5-fluoro-2'-deoxycytidine, followed by 5-azacytidine. 5,6-Dihydro-5-azacytidine and 6-azacytidine were substantially less cytotoxic. All four compds. induced micronuclei. The lowest dose ranges at which responses were observed for micronucleus induction were .apprx.0.04 μM for 5-fluoro-2'-deoxycytidine, 0.2 μM for 5-azacytidine and 10-20 μM for 5,6-dihydro-5-azacytidine and 6-azacytidine. Lack of kinetochore staining in most of the micronuclei indicated that all four compds. were clastogenic. We note a general trend in the biol. activity of these analogs: compds. that are specifically blocked at the 5 position such as 5-azacytidine and 5-fluoro-2'-deoxycytidine effect changes in cell morphol., cytotoxicity, TFT resistance and the induction of micronuclei at very low doses. 5-Azacytidine analogs that possess more chemical accessible 5 positions such as 5,6-dihydro-5-azacytidine and 6-azacytidine either require doses that are orders of magnitude greater to induce these effects or are unable to induce changes in cell morphol. and TFT resistance at doses below which the compound is lethal to the cells.

AN 1995:707279 HCAPLUS <<LOGINID::20100126>>
DN 123:132224
OREF 123:23189a,23192a
TI Micronuclei induced by modulators of methylation: analogs of 5-azacytidine
AU Stopper, Helga; Koerber, Carsten; Gibis, Petra; Spencer, Diane L.; Caspary, William J.
CS Inst. Pharmacology and Toxicology, Univ. Wuerzburg, Wuerzburg, 97078, Germany
SO Carcinogenesis (1995), 16(7), 1647-50
CODEN: CRNGDP; ISSN: 0143-3334
PB Oxford University Press
DT Journal
LA English
IT 62488-57-7, 5,6-Dihydro-5-azacytidine
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(micronuclei induced by analogs of azacytidine and role of DNA methylation)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

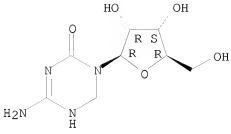
Absolute stereochemistry.



OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

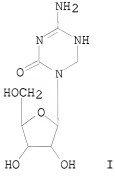
L9 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Biochemical pharmacology and DNA methylation studies of arabinosyl 5-azacytidine and 5,6-dihydro-5-azacytidine in two human leukemia cell lines PER-145 and PER-163
AB 1-β-D-Arabinofuranosyl-5-azacytosine (ara-AC) and 5,6-dihydro-5-azacytidine (DHAC) are two new antitumor agents under clin. investigations, which exhibit the chemical similarities found in the tumoricidal drug cytosine arabinoside (ara-C) and the nitrogen substitution in the 5 position of the pyrimidine ring found in 5-azacytidine (5-aza-C). The cellular anabolism of ara-AC and DHAC and their effect on DNA methylation have been examined in two new human leukemia cell lines, which are sensitive (PER-145) and resistant (PER-163) to ara-C. The triphosphate anabolite of ara-AC, ara-ACTP, was the major cellular anabolite in the cellular exts. of the PER-145 cells, reaching a cellular saturation concentration of 64.1 μM using 25 μM of the drug. Only trace levels of ara-ACTP were detected in the PER-163 cell line, which lacks deoxycytidine kinase, after exposure to a similar concentration Notably, after 1 mM, the ara-ACTP concentration averaged 12 μM. DHAC was anabolized by both cell lines to a similar degree but required much higher nucleoside concns. (100 μM or higher) to achieve similar cellular concns. of its triphosphate, DHACTP. Although the deoxy derivative, DHAdCTP, was detected in both cell lines, it was detected at 1-2 log10 lower concns. than DHACTP. DNA methylation studies showed that DHAC had a profound effect in inducing DNA hypomethylation in both cell lines, with nadir values of 27.3 and 29.2% of control. Ara-AC induced 45% DNA hypomethylation in PER-145 cells, but did not alter the DNA methylation pattern in PER-163 cells, except when they were exposed to 1 mM of the drug for 24 h. These results could be explained by the differential biochem. activation of these drugs in the human leukemia cell lines.
AN 1995:550185 HCAPLUS <<LOGINID::20100126>>
DN 123:25321
OREF 123:4480h,4481a
TI Biochemical pharmacology and DNA methylation studies of arabinosyl 5-azacytidine and 5,6-dihydro-5-azacytidine in two human leukemia cell lines PER-145 and PER-163
AU Kees, Ursula R.; Avramis, Vassilios I.
CS Inst. Child Health Res., Princess Margaret Hosp., West Perth, Australia
SO Anti-Cancer Drugs (1995), 6(2), 303-10
CODEN: ANTDEV; ISSN: 0959-4973
PB Rapid Science Publishers
DT Journal
LA English
IT 62488-57-7, DHAC
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biochem. pharmacol. and DNA methylation studies of arabinosyl azacytidine and dihydroazacytidine in sensitive and resistant human leukemia cells)
RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L9 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI The synthesis, structure, and antitumor activity of 5,6-dihydro-5-azacytidine
GI

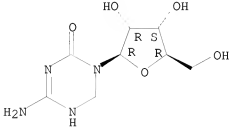


AB 5,6-Dihydro-5-azacytidine (I) [62488-57-7], and nontoxic acid addition salts such as the hydrochloride [62402-31-7], are prepared from 5-azacytidine (5-AC) [320-67-2] by reduction of the 5,6-double bond of 5-AC with an alkali metal borohydride such as NaBH3. I showed an antitumor activity in murine leukemia systems L1210 and P388. In comparison with the parent compound, 5-AC, the antitumor activity was comparable, and I exhibited a more favorable therapeutic index. It also had better solution stability over a broad pH range.
AN 1977:462862 HCAPLUS <<LOGINID::20100126>>
DN 87:62862
OREF 87:9926h,9927a
TI The synthesis, structure, and antitumor activity of 5,6-dihydro-5-azacytidine
IN Beisler, John A.; Abbasi, Mohamed M.; Driscoll, John S.
PA United States Dept. of Health, Education, and Welfare, USA
SO U. S. Pat. Appl., 17 pp. Avail. NTIS.
CODEN: XAXXAV
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 712854	A0	19760808	US 1976-712854	19760808 <--

PRAI US 1976-712854 19760808 <--
IT 62402-31-7P 62488-57-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of)
RN 62402-31-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl-, monohydrochloride (9CI) (CA INDEX NAME)

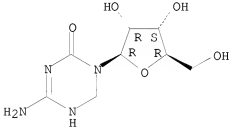
Absolute stereochemistry.



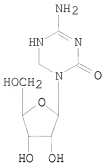
● HCl

RN 62488-57-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Dihydro-5-azacytidine hydrochloride, a biologically active and chemically stable analog of 5-azacytidine
GI



I

AB In mice, NSC-264,880 (dihydro-5-azacytidine-HCl)(I) [62402-31-7] had comparable activity to 5-azacytidine [320-67-2] against L1210 leukemia. I was inactive against a L1210 subline that was resistant to 5-azacytidine, indicating that I may be converted to 5-azacytidine in vivo. I was synthesized by reduction of the 5,6 double bond of 5-azacytidine followed by conversion to the HCl salt.

AN 1977:165237 HCAPLUS <<LOGINID::20100126>>

DN 86:165237

OREF 86:25889a,25892a

TI Dihydro-5-azacytidine hydrochloride, a biologically active and chemically stable analog of 5-azacytidine

AU Beisler, John A.; Abbasi, Mohamed M.; Driscoll, John S.

CS Natl. Cancer Inst., NIH, Bethesda, MD, USA

SO Cancer Treatment Reports (1976), 60(11), 1671-4
CODEN: CTRRDO; ISSN: 0361-5960

DT Journal

LA English

IT 62402-31-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)

RN 62402-31-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-3,6-dihydro-1-β-D-ribofuranosyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.